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         Apr 28
NEWS 16 May 05
                 Pharmacokinetic information and systematic chemical names
                 added to PHAR
                 MEDLINE file segment of TOXCENTER reloaded
NEWS 17
         May 15
                 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 18
         May 15
                 Simultaneous left and right truncation added to WSCA
NEWS 19
         May 19
                 RAPRA enhanced with new search field, simultaneous left and
NEWS 20
         May 19
                 right truncation
         Jun 06
                 Simultaneous left and right truncation added to CBNB
NEWS 21
NEWS 22
         Jun 06
                 PASCAL enhanced with additional data
                 2003 edition of the FSTA Thesaurus is now available
NEWS 23
         Jun 20
NEWS 24
         Jun 25
                HSDB has been reloaded
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         Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 26
         Jul 21
                 Identification of STN records implemented
                 Polymer class term count added to REGISTRY
NEWS 27
         Jul 21
                 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
         Jul 22
NEWS 28
                 Right Truncation available
NEWS 29
         AUG 05
                 New pricing for EUROPATFULL and PCTFULL effective
                 August 1, 2003
NEWS 30
         AUG 13
                 Field Availability (/FA) field enhanced in BEILSTEIN
                 PATDPAFULL: one FREE connect hour, per account, in
NEWS 31
         AUG 15
                 September 2003
        AUG 15
                 PCTGEN: one FREE connect hour, per account, in
NEWS 32
                 September 2003
        AUG 15
                 RDISCLOSURE: one FREE connect hour, per account, in
NEWS 33
                 September 2003
NEWS 34
         AUG 15
                 TEMA: one FREE connect hour, per account, in
                 September 2003
                 Data available for download as a PDF in RDISCLOSURE
NEWS 35
        AUG 18
NEWS 36
         AUG 18
                 Simultaneous left and right truncation added to PASCAL
NEWS 37
         AUG 18
                 FROSTI and KOSMET enhanced with Simultaneous Left and Right
                 Truncation
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NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 16 Sep 2003 (20030916/PD)
FILE LAST UPDATED: 16 Sep 2003 (20030916/ED)
HIGHEST GRANTED PATENT NUMBER: US6622308
HIGHEST APPLICATION PUBLICATION NUMBER: US2003172428
CA INDEXING IS CURRENT THROUGH 16 Sep 2003 (20030916/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 16 Sep 2003 (20030916/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2003
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substance identification.
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```
=> S COX(2W)2 INHIBITOR AND DISSOLVING (W) TABLET
          9355 COX
       3569648 2
         95898 INHIBITOR
          1239 2 INHIBITOR
                 (2(W)INHIBITOR)
           340 COX(2W)2 INHIBITOR
        157674 DISSOLVING
         62809 TABLET
           160 DISSOLVING (W) TABLET
             4 COX(2W)2 INHIBITOR AND DISSOLVING (W) TABLET
L1
=> S L1 1-4
MISSING OPERATOR L1 1-4
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.
=> D L1 1-4
     ANSWER 1 OF 4 USPATFULL on STN
L1
       2003:231677 USPATFULL
ΑN
       Fast dissolving tablets of cyclooxygenase-2 enzyme inhibitors
TΙ
       Murpani, Deepak, New Delhi, INDIA
IN
       Arora, Vinod Kumar, New Delhi, INDIA Malik, Rajiv, New Delhi, INDIA
       US 2003161875
                          A1
                                20030828
PΙ
                          A1
                                20020227 (10)
ΑI
       US 2002-85664
       Utility
DT
       APPLICATION
FS
LN.CNT 373
       INCLM: 424/465.000
INCL
       INCLS: 514/406.000
       NCLM: 424/465.000
NCL
       NCLS: 514/406.000
       [7]
IC
       ICM: A61K031-415
       ICS: A61K009-20
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 2 OF 4 USPATFULL on STN
L1
       2003:180357 USPATFULL
ΑN
       Quick disolve compositions and tablets based thereon
ΤI
       Mezaache, Naima, McLean, VA, UNITED STATES
TN
       Frisbee, Steven E., Reston, VA, UNITED STATES
       Woodall, Patrick B., Culpeper, VA, UNITED STATES
       Herman, Mark R., Nokesville, VA, UNITED STATES
       Biovail, Chantilly, VA (U.S. corporation)
PA
PΙ
       US 2003124184
                          A1
                                20030703
       US 2002-176135
                           A1
                                20020621 (10)
ΑI
       Continuation-in-part of Ser. No. US 1998-179926, filed on 27 Oct 1998,
RLI
       PENDING
       Utility
DT
       APPLICATION
FS
LN.CNT 2429
       INCLM: 424/465.000
INCL
       NCLM: 424/465.000
NCL
IC
       ICM: A61K009-20
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

```
ANSWER 3 OF 4 USPATFULL on STN
L1
ΑN
       2003:176426 USPATFULL
       Methods of treating headaches using 5-HT agonists in combination with
ΤI
       long-acting NSAIDs
       Plachetka, John R., Chapel Hill, NC, United States
IN
       Pozen Inc., Chapel Hill, NC, United States (U.S. corporation)
PA
                               20030701
PΙ
       US 6586458
                          В1
                               20000427 (9)
ΑI
       US 2000-559753
       Continuation-in-part of Ser. No. US 1998-151912, filed on 11 Sep 1998,
RLI
       now patented, Pat. No. US 6060499 Division of Ser. No. US 1997-907826,
       filed on 14 Aug 1997, now patented, Pat. No. US 5872145
       Continuation-in-part of Ser. No. US 1999-253278, filed on 19 Feb 1999,
       now abandoned
PRAI
       US 1996-24129P
                           19960816 (60)
DТ
       Utility
FS
       GRANTED
LN.CNT 974
INCL
       INCLM: 514/415.000
       INCLS: 514/449.000; 514/461.000; 514/473.000
             514/415.000
NCL
       NCLS: 514/449.000; 514/461.000; 514/473.000
IC
       [7]
       ICM: A61K031-405
       514/449; 514/461; 514/473; 514/415
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 4 OF 4 USPATFULL on STN
L1
       2001:202225 USPATFULL
AN
       Rapidly disintegrating solid oral dosage form
TI
       Jain, Rajeev A., Norristown, PA, United States
IN
       Ruddy, Stephen B., Schwenksville, PA, United States
       Cumming, Kenneth Iain, Phibsoboro, United Kingdom
       Clancy, Maurice Joseph Anthony, Dublin, Ireland
       Codd, Janet Elizabeth, Athlone, Ireland
       Flak Pharma International, Ltd., Shannon, Israel (non-U.S. corporation)
PΑ
PΙ
       US 6316029
                          В1
                               20011113
ΑI
       US 2000-572961
                               20000518 (9)
DT
       Utility
FS
       GRANTED
LN.CNT 1444
       INCLM: 424/484.000
INCL
       INCLS: 424/489.000; 424/488.000; 424/484.000; 424/400.000; 424/501.000;
              424/486.000
NCL
       NCLM:
              424/484.000
       NCLS: 424/400.000; 424/486.000; 424/488.000; 424/489.000; 424/501.000
IC
       [7]
       ICM: A61K009-14
       ICS: A61K009-00; A61K009-50
       424/501; 424/439; 424/489; 424/494; 424/484; 424/442; 424/485; 424/488;
EXF
       424/400; 424/426; 424/486; 424/428; 424/429
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
=> D L1 1-4 AB, KWIC
L1
     ANSWER 1 OF 4 USPATFULL on STN
AB
       The present invention relates to fast dissolving tablets for oral
       administration comprising a therapeutically effective amount of drug(s)
       that acts selectively as a cyclooxygenase-2 (COX-2) enzyme inhibitor,
       which disintegrate quickly in mouth. The tablets are particularly
       suitable for patients who have difficulty in swallowing.
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[0007] It is an object of the present invention to provide a fast

SUMM

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dissolving tablet which comprises a therapeutically effective amount of drug(s) that acts as a cyclooxygenase-2 enzyme (COX-2) inhibitor for oral administration which disintegrate quickly in the mouth. The tablets prepared by the present invention disintegrate and dissolve in the oral cavity in less than about 30 seconds without the need of water. The fast dissolving tablet of COX-2 of the present invention process has pleasant mouth feel and there is no after taste or grittiness.
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- SUMM [0008] The fast dissolving tablets according to the present inventions comprises a therapeutically effective amount of COX-2 inhibitor, a filler, and optionally other pharmaceutical excipients.
- SUMM . . . process for the preparation of fast dissolving tablets comprising a therapeutically effective amount of drug(s) that acts as a cyclooxygenase-2 (COX-2) inhibitor for oral administration.
- SUMM [0021] b) compressing the homogeneous mixture obtained in step (a) to form the fast dissolving tablet of COX-2 inhibitor.
- SUMM [0022] According to the present invention, the "COX-2 inhibitor" as used herein to embrace compounds that specifically/selectively, or preferentially inhibits cyclooxygenase-2 over cyclooxygenase-1. Illustrative examples of COX-2 enzyme inhibitors.
- SUMM [0024] Fillers of the present invention can be selected from any such pharmaceutically acceptable excipient, which gives bulk to the COX-2 inhibitor composition and which is physically and chemically compatible with COX-2 inhibitor; preferably those fillers may be selected from alkali earth metal salts such as directly compressible dicalcium phosphate dihydrate, tricalcium phosphate, . . .
- SUMM . . . 95 weight percent, preferably about 25 to about 85 weight percent, and most preferably about 80 weight percent of the COX -2 inhibitor compositions of this invention. One of the preferred fillers is directly compressible mannitol.
- SUMM [0030] The effective amount of a disintegrant found useful for the COX-2 inhibitor compositions of this invention is in the range of about 1.0 to about 10.0 weight percent, preferably about 1.5 to about 2.5 weight percent and most preferably about 2.0 weight percent of the COX-2 inhibitor compositions by this invention. The preferred disintegrant is croscarmellose sodium.
- SUMM . . . about 4 weight percent, preferably about 0.5 to about 2 weight percent, and most preferably 1.0 weight percent of the COX-2 inhibitor compositions of this invention. The preferred lubricant is magnesium stearate.
- SUMM . . . disintegrate/dissolve in less than about 30 seconds preferably in about 25 seconds. The process of this invention for preparing rapidly dissolving tablet may be used for any strength of COX-2 inhibitor tablets without deviating from this invention.
- DETD [0047] The rofecoxib mouth **dissolving tablet** of 50 mg strength had an average weight of 400.+-.20 mg, thickness of 4.9.+-.0.2 mm, hardness of 4.5-5.0 Kp, disintegration. . . DETD [0048]

Nimesulide mouth dissolving tablet-100 mg.

Ingredient Quantity (mg)

Nimesulide

100.00

Aspartame 4.5
Mannitol 318.75
Croscarmellose sodium 10.5
Colloidal silicon dioxide 2.25
Orange flavour 4.5

DETD [0050] The nimesulide mouth **dissolving tablet** of 100 mg strength had an average weight of 450.+-.22.5 mg, thickness of 5.7.+-.0.2 mm, hardness of 2-5 Kp, disintegration. . .

CLM What is claimed is:

- 1. A fast dissolving tablet which comprises a therapeutically effective amount of drug(s) that acts as a cyclooxygenase-2 (COX-2) inhibitor for oral administration.
- 2. The tablet according to claim 1 wherein the tablet comprises a therapeutically effective amount of COX-2 inhibitor, a filler and optionally, other pharmaceutical excipients.
- 3. The tablet according to claim 1 wherein the fast dissolving tablet dissolves in the mouth.
- 4. The tablet according to claim 1 or 2 wherein the drug(s) that acts as a cyclooxygenase-2 (COX-2) inhibitor is specific or preferential COX-2 inhibitor.
- 5. The tablet according to claim 4 wherein the COX-2 inhibitor is selected from the group consisting of meloxicam, rofecoxib, celecoxib, valdecoxib, parecoxib, nabumetone, nimesulide and etodolac.
- 20. A mouth dissolving tablet of COX2 inhibitor consisting of a COX-2
 inhibitor, croscarmellose sodium, mannitol, aspartame, colloidal silicon dioxide, magnesium stearate and flavouring agent.
- 21. A process for preparing a fast dissolving tablet according to claim 2 comprising the steps of: (a) blending a therapeutically effective amount of COX-2 inhibitor, a filler, and optionally, other pharmaceutical excipients; (b) compressing the homogeneous mixture obtained in step (a).

L1 ANSWER 2 OF 4 USPATFULL on STN

The invention provides a composition useful for making oral dosage forms capable of dissolving in the mouth in less than 40 seconds without the need for a conventional super disintegrant and having a friability of less than 1%; wherein the composition includes liquiflash particles and an excipient mass. A preferred excipient mass according to the invention contains a directly compressible inorganic salt; a cellulose derivative or a combination of a directly compressible inorganic salt and a cellulose derivative. Preferably, the liquiflash particles and the excipient mass are combined in proportions such that the active ingredient remains substantially within the microspheres when the composition is compressed to obtain a dosage form having a hardness of 20 to 50 N. The compositions of the invention allow for the fabrication of oral dosages having improved hardness and friability.

. . . the first component is more soluble in aqueous solution than the second component. See U.S. Pat. No. 5,807,576 for "Rapidly Dissolving Tablet;" U.S. Pat. No. 5,635,210 for

SUMM

"Method of Making a Rapidly **Dissolving Tablet**;" U.S. Pat. No. 5,595,761 for "Particulate Support Matrix for Making a Rapidly **Dissolving Tablet**;" U.S. Pat. No. 5,587,180 for "Process for Making a Particulate Support Matrix for Making a Rapidly **Dissolving Tablet**;" and U.S. Pat. No. 5,776,491 for "Rapidly Dissolving Dosage Form."

SUMM [0034] Takeda Chemicals Inc., Ltd. owns technology directed to a method of making a fast dissolving tablet in which an active agent and a moistened, soluble carbohydrate are compression molded into a tablet, followed by drying of. . .

SUMM . . . W095/34290 (published Dec. 21, 1995) from co-assigned PCT application No. PCT/US95/07144, filed Jun. 6, 1995. This case discloses a quick dissolving tablet which is formed by: (1) using flash-flow technology to provide a shearform matrix; (2) combining the partially recrystallized shearform matrix. . .

CLM What is claimed is:

- . such that the dosage form obtained by compressing the composition is capable of packaging employing conventional blister technology. zolpidem; tevenen; Cox-2 inhibitor; Ace inhibitor; and a calcium channel blocker.
- . . . claim 1, wherein the liquiflash particles contain an active ingredient selected from the group consisting of fluoxetine; paroxetine; zolpidem; tevenen; Cox-2 inhibitor; Ace inhibitor; and a calcium channel blocker.
- . . . claim 15, wherein the liquiflash particles contain an active ingredient selected from the group consisting of fluoxetine; paroxetine; zolpidem; tevenen; Cox-2 inhibitor; Ace inhibitor; and a calcium channel blocker.
 - . claim 28, wherein the liquiflash particles contain an active ingredient selected from the group consisting of fluoxetine; paroxetine; zolpidem; tevenen; Cox-2 inhibitor; Ace inhibitor; and a calcium channel blocker.
- L1 ANSWER 3 OF 4 USPATFULL on STN
- AB The invention is directed to methods and compositions that can be used in the treatment of headaches. In particular, methods and compositions are described involving the combination of a long-acting NSAID and a 5-HT agonist. Included among the long-acting NSAIDs are cyclo-oxygenase-2 inhibitors.
- SUMM . . . Thus, the invention includes a method of treating a migraine patient by administering a 5-HT agonist in combination with a COX-2 inhibitor. These agents should be given concomitantly and should be delivered in an amount sufficient to reduce migraine relapse or produce. . . dose form which are designed for treating migraine patients and which contain these agents, i.e., a 5-HT agonist and a COX-2 inhibitor. The compositions may be included as part of a therapeutic package in which one or more unit doses are placed. . .
- The preferred COX-2 inhibitor is celecoxib, typically at 50-500 mg per unit dose. Especially preferred are methods and compositions utilizing 5 to 100 mg. . . If desired, one or more additional therapeutic agents, e.g., an additional analgesic, may be included. Finally, the 5-HT agonist, the COX -2 inhibitor, or both, may, if desired, be used in sub-MED amounts.
- DETD . . . can be made into a single dosage form, either tablet, capsule, suppository, parenteral or other. As an example, a rapidly dissolving tablet of 0.5 mg ergotamine tartrate

combined with 550 mg naproxen sodium is conveniently available for use. Another example includes a rapidly **dissolving tablet** of 12.5 mg of sumatriptan combined with 550 mg of naproxen sodium. Other agents may also be present such as:. . .

- L1 ANSWER 4 OF 4 USPATFULL on STN
- Disclosed is a rapidly disintegrating solid oral dosage form of a poorly soluble active ingredient and at least one pharmaceutically acceptable water-soluble or water-dispersible excipient, wherein the poorly soluble active ingredient particles have an average diameter, prior to inclusion in the dosage form, of less than about 2000 nm. The dosage form of the invention has the advantage of combining rapid presentation and rapid dissolution of the active ingredient in the oral cavity.
- SUMM . . . the first component is more soluble in aqueous solution than the second component. See U.S. Pat. No. 5,807,576 for "Rapidly Dissolving Tablet;" U.S. Pat. No. 5,635,210 for "Method of Making a Rapidly Dissolving Tablet;" U.S. Pat. No. 5,595,761 for "Particulate Support Matrix for Making a Rapidly Dissolving Tablet;" U.S. Pat. No. 5,587,180 for "Process for Making a Particulate Support Matrix for Making a Rapidly Dissolving Tablet;" and U.S. Pat. No. 5,776,491 for "Rapidly Dissolving Dosage Form."
- SUMM Finally, Takeda Chemicals Inc., Ltd. owns technology directed to a method of making a fast **dissolving tablet** in which an active agent and a moistened, soluble carbohydrate are compression molded into a tablet, followed by drying of. . .
- DRWD . . . rate of dissolution over time for three rapidly disintegrating or dissolving nanoparticulate dosage forms of Compound A, which is a COX-2 inhibitor type nonsteroidal anti-inflammatory drug (NSAID), having anti-inflammatory, analgesic, and antipyretic activities.
- DETD . . . prepare a rapidly disintegrating nanoparticulate dosage form of Compound A using a fluid bed granulation process. Compound A is a COX-2 inhibitor type nonsteroidal anti-inflammatory drug (NSAID), having anti-inflammatory, analgesic, and antipyretic activities.